

L1 1 S US 20090176846/PN

FILE 'REGISTRY' ENTERED AT 13:37:51 ON 31 MAR 2010

L2 1 S 491577-61-8/RN

L2 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2010 ACS on STN

RN 491577-61-8 REGISTRY

CN Benzenecarboximidamide, N-hydroxy-4-[[5-[4-[2-methyl-5-(1-methylethyl)-4-thiazolyl]phenoxy]pentyl]oxy]- (CA INDEX NAME)

OTHER NAMES:

CN DW 1350

CN N-Hydroxy-4-[5-[4-(5-isopropyl-2-methyl-1,3-thiazol-4-yl)phenoxy]pentoxy]benzamidine

MF C25 H31 N3 O3 S

CI COM

SR CA

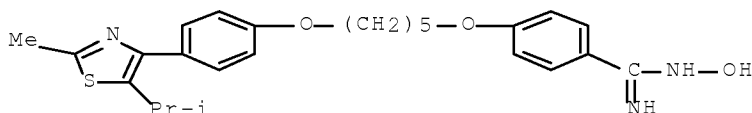
LC STN Files: CA, CAPLUS, CASREACT, IMSDRUGNEWS, IMSRESEARCH, PROUSDDR,

TOXCENTER, USPAT2, USPATFULL

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); PROC

(Process); RACT (Reactant or reagent); USES (Uses)



SET NOTICE 1 DISPLAY

SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 13:38:12 ON 31 MAR 2010

L3 1 S 75-75-2/RN

L3 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2010 ACS on STN

RN 75-75-2 REGISTRY

CN Methanesulfonic acid (CA INDEX NAME)

OTHER NAMES:

CN MCAT 1201

CN Methylsulfonic acid

CN NSC 3718

DR 1129867-34-0, 125756-91-4, 98527-29-8, 115449-98-4, 62203-24-1, 87128-90-3, 44209-64-5, 44209-72-5

MF C H4 O3 S

CI COM

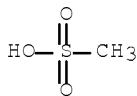
LC STN Files: AGRICOLA, ANABSTR, BEILSTEIN*, BIOSIS, BIOTECHNO, CA, CAPLUS,

CASREACT, CBNB, CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN, CSCHEM, DETHERM*,

EMBASE, ENCOMPLIT, ENCOMPLIT2, ENCOMPPAT, ENCOMPPAT2, GMELIN*, HSDB*,

IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, MSDS-OHS, PIRA,

PROMT, PS,
 RTECS*, SPECINFO, SYNTHLINE, TOXCENTER, ULIDAT, USPAT2,
 USPATFULL,
 USPATOLD
 (*File contains numerically searchable property data)
 Other Sources: DSL**, EINECS**, TSCA**
 (**Enter CHEMLIST File for up-to-date regulatory information)
 DT.CA CAPlus document type: Conference; Dissertation; Journal;
 Patent; Report
 RL.P Roles from patents: ANST (Analytical study); BIOL (Biological
 study);
 FORM (Formation, nonpreparative); MSC (Miscellaneous); OCCU
 (Occurrence); PREP (Preparation); PROC (Process); PRP
 (Properties); PRPH
 (Prophetic); RACT (Reactant or reagent); USES (Uses); NORL (No
 role in
 record)
 RLD.P Roles for non-specific derivatives from patents: ANST
 (Analytical
 study); BIOL (Biological study); PREP (Preparation); PROC
 (Process); PRP
 (Properties); PRPH (Prophetic); RACT (Reactant or reagent); USES
 (Uses)
 RL.NP Roles from non-patents: ANST (Analytical study); BIOL
 (Biological
 study); FORM (Formation, nonpreparative); MSC (Miscellaneous);
 OCCU
 (Occurrence); PREP (Preparation); PROC (Process); PRP
 (Properties); RACT
 (Reactant or reagent); USES (Uses); NORL (No role in record)
 RLD.NP Roles for non-specific derivatives from non-patents: ANST
 (Analytical
 study); BIOL (Biological study); CMBI (Combinatorial study);
 FORM
 (Formation, nonpreparative); OCCU (Occurrence); PREP
 (Preparation); PROC
 (Process); PRP (Properties); RACT (Reactant or reagent); USES
 (Uses)



SET NOTICE 1 DISPLAY
 SET NOTICE LOGIN DISPLAY

FILE 'HCAPLUS' ENTERED AT 13:38:24 ON 31 MAR 2010
 L4 9 S L2
 L5 7246 S L3
 L6 2 S L4 AND L5

L6 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN
 TI An oral preparation having improved bioavailability
 AB The present invention relates to an oral preparation of N-hydroxy-4-[5-[4-(5-isopropyl-2-methyl-1,3-thiazol-4-yl)phenoxy]pentoxy]benzamidine (I) having improved bioavailability. More particularly, the present invention relates to an oral preparation comprising I or pharmaceutically acceptable salt thereof; and one or more carbonates selected from the group consisting of alkali metal carbonate, alkali metal bicarbonate and alkaline earth metal carbonate, and/or one or more disintegrants selected from the group consisting of sodium starch glycolate, carmellose calcium and croscarmellose sodium. The oral preparation according to the present invention inhibits gelation of I or pharmaceutically acceptable salt thereof in the early stage of release, which increases dissoln. rate and remarkably raises bioavailability.

ACCESSION NUMBER: 2006:515838 HCAPLUS Full-text
 DOCUMENT NUMBER: 144:495422
 TITLE: An oral preparation having improved bioavailability
 INVENTOR(S): Ryu, Jei Man; Cho, Soon Ki; Jung, Se Hyun; Seong, Seung Kyoo; Cho, Eun Hee; Ahn, Seok Hoon; Kim, Yun
 PATENT ASSIGNEE(S): Jung
 Dong Wha Pharm. Ind. Co., Ltd, S. Korea
 SOURCE: PCT Int. Appl., 50 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006057507	A1	20060601	WO 2005-KR3950	
20051122				
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,			

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY,
 KG, KZ, MD, RU, TJ, TM
 KR 2006057511 A 20060526 KR 2005-111543
 20051122
 KR 2006057514 A 20060526 KR 2005-111779
 20051122
 AU 2005307994 A1 20060601 AU 2005-307994
 20051122
 AU 2005307994 B2 20090723
 CA 2585003 A1 20060601 CA 2005-2585003
 20051122
 EP 1814593 A1 20070808 EP 2005-821036
 20051122
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,
 HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
 CN 101056658 A 20071017 CN 2005-80038889
 20051122
 JP 2008520655 T 20080619 JP 2007-542909
 20051122
 BR 2005017396 A 20081014 BR 2005-17396
 20051122
 ES 2333739 T3 20100226 ES 2005-817697
 20051122
 ZA 2007000485 A 20071128 ZA 2007-485
 20070117
 US 20070254930 A1 20071101 US 2007-577469
 20070418
 ZA 2007004236 A 20081126 ZA 2007-4236
 20070524
 PRIORITY APPLN. INFO.: KR 2004-96390 A
 20041123 WO 2005-KR3950 W
 20051122
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 CC 63-6 (Pharmaceuticals)
 IT 491577-61-8
 RL: PKT (Pharmacokinetics); RCT (Reactant); THU (Therapeutic use);
 BIOL
 (Biological study); RACT (Reactant or reagent); USES (Uses)
 (oral preps. containing benzenecarboximidamide derivative and
 carbonates)
 IT 75-75-2, Methanesulfonic acid
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (oral preps. containing benzenecarboximidamide derivative and
 carbonates)
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE
 FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE
 RE FORMAT
 L6 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN
 TI N-Hydroxy-4-[5-[4-(5-isopropyl-2-methyl-1,3-thiazol-4-
 yl)phenoxy]pentoxy]benzamidinium di-methanesulfonic acid salt
 AB Disclosed is N-hydroxy-4-[5-[4-(5-isopropyl-2-methyl-1,3-thiazol-
 4-yl)phenoxy]pentoxy]benzamidinium di-methanesulfonic acid salt,

which has excellent bioavailability. Also disclosed are a method of preparing the compound and a pharmaceutical composition comprising the compound

ACCESSION NUMBER: 2006:513353 HCAPLUS Full-text
DOCUMENT NUMBER: 144:495412
TITLE: N-Hydroxy-4-[5-[4-(5-isopropyl-2-methyl-1,3-thiazol-4-yl)phenoxy]pentoxy]benzamidinium di-methanesulfonic acid salt
INVENTOR(S): Ryu, Jei, Man; Lee, Jin, Soo; Shin, Dong, Hyuk; Seong, Seung, Kyoo; Cho, Soon, Ki; Jeon, Chan, Seok; Jin, Young, Goo; Lee, Ki, Young; Jung, Se, Hyun; Cho, Eun, Hee
PATENT ASSIGNEE(S): Dong Wha Pharmaceutical. Ind. Co., Ltd., S. Korea
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006057501	A1	20060601	WO 2005-KR3934	
20051122				
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
KR 2006057511	A	20060526	KR 2005-111543	
20051122				
KR 2006057514	A	20060526	KR 2005-111779	
20051122				

CA 2552766	A1	20060601	CA 2005-2552766
20051122			
AU 2005300239	A1	20060706	AU 2005-300239
20051122			
AU 2005300239	B2	20090806	
EP 1701722	A1	20060920	EP 2005-817697
20051122			
EP 1701722	B1	20091014	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK,			
BA, HR, IS, YU			
CN 1905871	A	20070131	CN 2005-80001744
20051122			
JP 2008508264	T	20080321	JP 2007-523495
20051122			
BR 2005014386	A	20080610	BR 2005-14386
20051122			
NZ 555725	A	20080731	NZ 2005-555725
20051122			
RU 2361867	C2	20090720	RU 2007-123614
20051122			
AT 445397	T	20091015	AT 2005-817697
20051122			
ES 2333739	T3	20100226	ES 2005-817697
20051122			
ZA 2007000485	A	20071128	ZA 2007-485
20070117			
ZA 2007004236	A	20081126	ZA 2007-4236
20070524			
IN 2007DN04653	A	20070817	IN 2007-DN4653
20070618			
US 20090176846	A1	20090709	US 2008-584984
20080508			
PRIORITY APPLN. INFO.:			KR 2004-96390 A
20041123			
			WO 2005-KR3934 W

20051122
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 CC 63-6 (Pharmaceuticals)
 Section cross-reference(s): 1
 IT 75-75-2, Methanesulfonic acid 491577-61-8,
 N-Hydroxy-4-[5-[4-(5-isopropyl-2-methyl-1,3-thiazol-4-yl)phenoxy]pentoxy]benzamidine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of stable benzenecarboximidamide derivative
 methanesulfonate salt

L7	31 S L5 AND BIOAVAILABILITY/IT
L8	15 S L7 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)
L9	2 S L5 (L) BIOAVAILABILITY/IT
L10	2 S L5 (L) BIOAVAILABIL?
L11	0 S L10 NOT L9
L12	291 S L5 AND DRUG DELIVERY SYSTEMS/IT

L13 153 S L12 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)
 L14 15 S L13 AND BIOAVAILAB?
 L15 2 S L14 NOT (L8 OR L9)

FILE 'HCAPLUS' ENTERED AT 13:46:13 ON 31 MAR 2010

E RYU JEI?/AU
 SET EXPAND CONTINUOUS

L16 39 S E2
 L17 2 S L16 AND L5
 L18 1 S L17 NOT L15
 L19 4 S L16 AND BIOAVAIL?
 L20 2 S L19 NOT (L15 OR L18)
 E LEE JIN SOO?/AU

L21 189 S E14
 L22 1 S L21 AND L5
 L23 0 S L22 NOT (L15 OR L18)
 L24 10 S L21 AND BIOAVAIL?
 L25 6 S L24 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)
 L26 5 S L25 NOT (L15 OR L18)
 E SHIN DONG HYUK?/AU

L27 194 S E26
 L28 1 S L27 AND L5
 L29 1 S L27 AND BIOAVAILAB?
 L30 193 S L27 NOT (L15 OR L18)
 L31 0 S L28 NOT (L15 OR L18)
 E SEONG SEUNG KYOO?/AU

L32 17 S E38
 L33 2 S L32 AND L5
 L34 0 S L33 NOT (L15 OR L18)
 E CHO SOON KI?/AU

L35 2 S E50
 L36 2 S L35 AND L5
 L37 0 S L36 NOT (L15 OR L18)
 E JEON CHAN SEOK?/AU

L38 2 S E62
 L39 1 S L38 AND L5
 L40 0 S L39 NOT (L15 OR L18)
 E JIN YOUNG GOO?/AU

L41 9 S E73-E74
 L42 1 S L41 AND L5
 L43 0 S L42 NOT (L15 OR L18)
 E LEE KI YOUNG?/AU

L44 280 S E86
 L45 1 S L44 AND L5
 L46 0 S L45 NOT (L15 OR L18)
 L47 3 S L44 AND BIOAVAILAB?
 L48 1 S L47 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)
 E JUNG SE HYUN?/AU

L49 8 S E98
 L50 2 S L49 AND L5
 L51 2 S L50 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)
 L52 1 S L51 NOT L48
 E CHO EUN HEE?/AU

L53 45 S E110
 L54 2 S L53 AND L5
 L55 0 S L54 NOT (L48 OR L52)
 L56 2 S L53 AND BIOAVAILAB?

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L57          2 S L56 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)
              E AHN SEOK HOON?/AU
L58          5 S E122
L59          1 S L58 AND L5
L60          0 S L59 NOT (L48 OR L52)

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=> d his

(FILE 'HOME' ENTERED AT 15:14:12 ON 06 APR 2010)

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FILE 'HCAPLUS' ENTERED AT 15:14:26 ON 06 APR 2010
      E DIMETHANESULFONATE?
      SET EXPAND CONTINUOUS
L1      1053 S E2,E4
              E MONOMETHANESULFONATE?
L2      149 S E13-E14

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FILE 'HCAPLUS' ENTERED AT 15:15:27 ON 06 APR 2010
L3      10 S L1 AND L2
L4      9 S L3 AND (PY<=2000 OR AY<=2000 OR PRY<=2000)
L5      2 S L4 AND SOLUB?
L6      1053 S DIMETHANESULFONATE?
L7      149 S MONOMETHANESULFONATE?
L8      10 S L6 AND L7
L9      9 S L8 AND (PY<=2000 OR AY<=2000 OR PRY<=2000)
L10     0 S L9 NOT L4

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=> s dimethanesulfonate? (l) monomethanesulfonate?
      1052 DIMETHANESULFONATE?
      9 DIMETHANESULPHONATE?
      1053 DIMETHANESULFONATE?
              (DIMETHANESULFONATE? OR DIMETHANESULPHONATE?)
      149 MONOMETHANESULFONATE?
L11     5 DIMETHANESULFONATE? (L) MONOMETHANESULFONATE?

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=> s l11 and (py<=2000 or ay<=2000 or pry<=2000)
      21040839 PY<=2000
      3966521 AY<=2000
      3436844 PRY<=2000
L12     5 L11 AND (PY<=2000 OR AY<=2000 OR PRY<=2000)

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=> s l12 not l9
L13     0 L12 NOT L9

```

=> d his

(FILE 'HOME' ENTERED AT 15:14:12 ON 06 APR 2010)

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FILE 'HCAPLUS' ENTERED AT 15:14:26 ON 06 APR 2010
      E DIMETHANESULFONATE?
      SET EXPAND CONTINUOUS
L1      1053 S E2,E4
              E MONOMETHANESULFONATE?
L2      149 S E13-E14

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FILE 'HCAPLUS' ENTERED AT 15:15:27 ON 06 APR 2010

L3 10 S L1 AND L2
L4 9 S L3 AND (PY<=2000 OR AY<=2000 OR PRY<=2000)
L5 2 S L4 AND SOLUB?
L6 1053 S DIMETHANESULFONATE?
L7 149 S MONOMETHANESULFONATE?
L8 10 S L6 AND L7
L9 9 S L8 AND (PY<=2000 OR AY<=2000 OR PRY<=2000)
L10 0 S L9 NOT L4
L11 5 S DIMETHANESULFONATE? (L) MONOMETHANESULFONATE?
L12 5 S L11 AND (PY<=2000 OR AY<=2000 OR PRY<=2000)
L13 0 S L12 NOT L9

L1 455 S DIMESYLATE?
L2 4 S L1 (L) SOLUBILITY?
L3 3 S L2 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)
L4 366 S L1 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)
L5 0 S L1/THU
L6 4 S L4 AND MONOMESYLATE
L7 4 S L6 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)